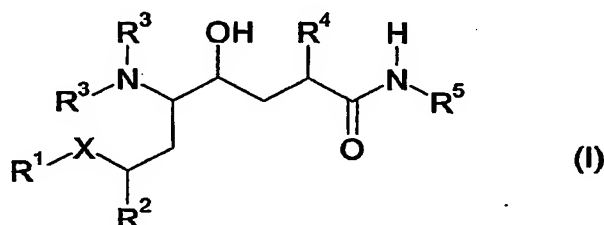


5-AMINO-4-HYDROXY-7-(1H-INDOLMETHYL)-8-METHYLNONAMIDE DERIVATIVES AS RENIN INHIBITORS FOR THE TREATMENT OF HYPERTENSION

The present invention relates to novel alkanamides, to processes for their preparation and to the use of the compounds as medicines, especially as renin inhibitors.

Alkanamides for use as medicines are known, for example, from EP 678503. However, especially with regard to renin inhibition, there is still a need for highly potent active ingredients. In this context, the improvement of the pharmacokinetic properties is at the forefront. These properties directed towards better bioavailability are, for example, absorption, metabolic stability, solubility or lipophilicity.

The invention therefore firstly provides compounds of the general formula



where

X is  $-\text{CH}_2-$  or  $>\text{CH}-\text{OH}$ ;

(A)  $\text{R}^1$  is an optionally substituted heterocyclyl radical or an optionally substituted polycyclic, unsaturated hydrocarbon radical where X is hydroxymethylene; or

(B)  $\text{R}^1$  is a heterocyclyl radical or a polycyclic, unsaturated hydrocarbon radical which is substituted by one to four radicals selected from  $\text{C}_1\text{-C}_6\text{-alkyl}$ ,  $\text{C}_{3-8}\text{-cycloalkyl}$ ,  $\text{C}_{3-8}\text{-cycloalkoxy}$ ,  $\text{C}_{3-8}\text{-cycloalkoxy-C}_{1-6}\text{-alkyl}$ ,  $\text{C}_{3-8}\text{-cycloalkoxy-C}_{1-6}\text{-alkoxy}$ ,  $\text{C}_1\text{-C}_6\text{-alkylamino}$ ,  $\text{di-C}_{1-6}\text{-alkylamino}$ ,  $\text{amino-C}_{1-6}\text{-alkyl}$ ,  $\text{amino-C}_{2-7}\text{-alkoxy}$ ,  $\text{polyhalo-C}_{1-6}\text{-alkyl}$ ,  $\text{polyhalo-C}_{2-7}\text{-alkoxy}$ ,  $\text{nitro}$ ,  $\text{amino}$ ,  $\text{oxo}$ ,  $\text{oxide}$ ,  $\text{C}_2\text{-C}_6\text{-alkenyl}$ ,  $\text{C}_1\text{-C}_6\text{-alkoxy}$ ,  $\text{C}_1\text{-C}_6\text{-alkanoyloxy}$ ,  $\text{hydroxy}$ ,  $\text{halogen}$ ,  $\text{cyano}$ ,  $\text{carbamoyl}$ ,  $\text{carboxyl}$ ,  $\text{C}_1\text{-C}_6\text{-alkylene-dioxy}$ ,  $\text{phenyl}$ ,  $\text{phenoxy}$ ,  $\text{phenylthio}$ ,  $\text{phenyl-C}_{1-6}\text{-alkyl}$  or  $\text{phenyl-C}_{1-6}\text{-alkoxy}$ ,  $\text{pyridylcarbonylamino-C}_{1-6}\text{-alkyl}$ ,  $\text{C}_{2-7}\text{-alkenylloxy}$ ,  $\text{C}_{1-6}\text{-alkoxy-C}_{1-6}\text{-alkoxy}$ ,  $\text{C}_{1-6}\text{-alkoxy-C}_{1-6}\text{-alkoxy-C}_{1-6}\text{-alkyl}$ ,  $\text{methoxybenzyloxy}$ ,  $\text{hydroxybenzyloxy}$ ,  $\text{methylenedioxy}$ -